

STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 163027

TO: Deborah Lambkin
Location: rem/5B09/5C18
Art Unit: 1626
Wednesday, August 24, 2005

Case Serial Number: 10/603437

From: Mary Hale
Location: Biotech/Chem Library
Rem 1D86
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Search Notes

Feel free to contact me if you have any questions.

Note -- results are printed on both sides of printout



Access DB# 163027

RESEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Deborah Lambkin Examiner #: 71300 Date: 8/15/05
 Art Unit: 1626 Phone Number 302-0698 Serial Number: 101603437
 Mail Box and Bldg/Room Location: REM 5309 Results Format Preferred (circle): PAPER DISK E-MAIL

5C18
 If more than one search is submitted, please prioritize searches in order of need. MS

 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched.
 Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

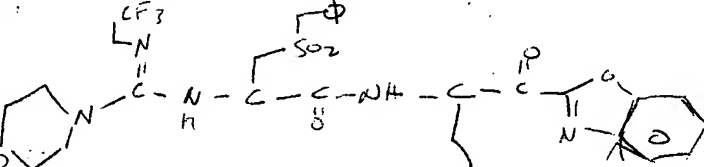
Title of Invention: Peptidic Compounds As Cysteine Protease Inhibitors

Inventors (please provide full names): Michael Graupe et al 11/17

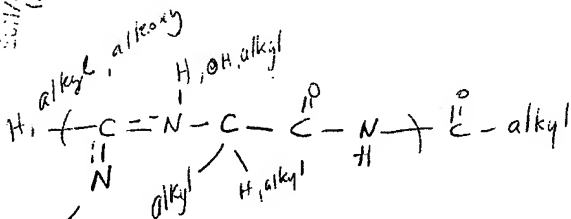
Earliest Priority Filing Date: _____

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search the attached species ex. 4.



and the subgenus of Cl. 4



(Note this is only a narrow subgenus of Cl. 1 generic attachment)

12:24-17 see ex 4 + Cl 1 attached.
 12:07-28
 Thank OC

STAFF USE ONLY

Type of Search

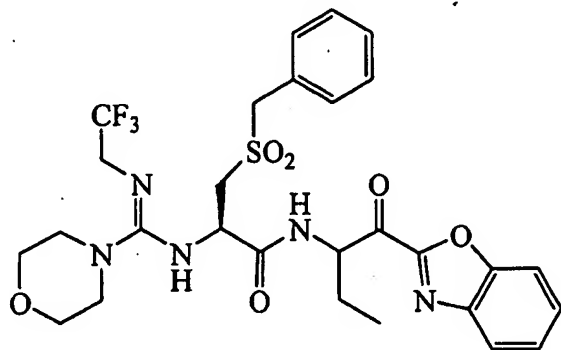
Vendors and cost where applicable

Searcher: Mary NA Sequence (#) _____ STN 939.20
 Searcher Phone #: _____ AA Sequence (#) _____ Dialog _____
 Searcher Location: _____ Structure (#) 2 Questel/Orbit _____
 Date Searcher Picked Up: 8/24 Bibliographic _____ Dr. Link _____
 Date Completed: 8/24 Litigation _____ Lexis/Nexis _____
 Searcher Prep & Review Time: _____ Fulltext _____ Sequence Systems _____
 Clerical Prep Time: _____ Patent Family _____ WWW/Internet _____
 Online Time: 17 Other _____ Other (specify) _____

II. Claims 1-27, drawn to compounds, compositions and methods wherein R1, R1a, R2, R3, R4, R4a, and E does contain a heterocyclic group, classified in class/subclass numerous depending on the elected species.

The Examiner stated that the inventions of Groups I and II are distinct because “[t]hey do not possess a SUBSTANTIAL COMMON CORE seen to be essential to the utility by itself, nor is the core novel, hence, Groups I and II fail to meet both criteria for Markush type claims.” The Examiner further stated “there are multiple patentably distinct inventions wherein a reference anticipating one would not necessarily render the other obvious and to search all the instant compounds in a single application would present an undue burden on the examiner.”

Applicants elect Group II with traverse. The Applicants further elect the species having the following structure:

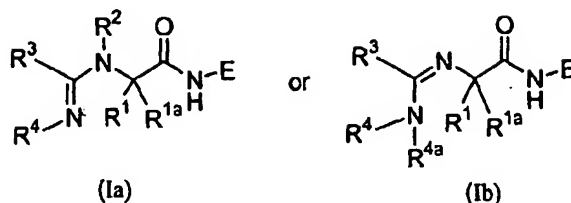


and referenced in the application as Example 4. Claims 1-5, 7, 11-14 and 18-27 read on the elected species.

Applicants respectfully traverse the restriction requirement for the following reasons. The Applicants submit that the Examiner may not compel an applicant to narrow the scope of a generic claim pursuant to a restriction requirement. Decisions by the Patent and Trademark Office Board of Patent Appeals and its reviewing court clearly hold that a restriction requirement which compels an applicant to divide a generic claim for the purposes of excising non-elected subject matter is improper and that such amounts

WE CLAIM:

1. A compound of Formula (Ia) or (Ib):



wherein:

E is:

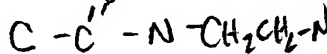
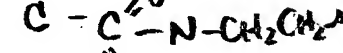
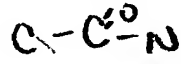
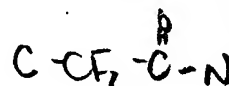
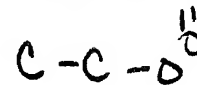
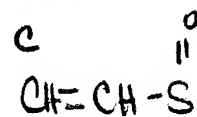
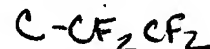
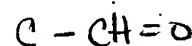
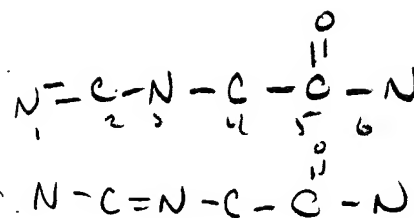
(i) $-\text{C}(\text{R}^5)(\text{R}^6)\text{X}^1$ where X^1 is $-\text{CHO}$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{CF}_3$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{CF}_2\text{CF}_2\text{R}^9$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{R}^{10}$, $-\text{CH}=\text{CHS}(\text{O})_2\text{R}^{10}$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{C}(\text{R}^7)(\text{R}^8)\text{OR}^{10}$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{CH}_2\text{OR}^{10}$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{C}(\text{R}^7)(\text{R}^8)\text{R}^{10}$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{CH}_2\text{N}(\text{R}^{11})\text{SO}_2\text{R}^{10}$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{CF}_2\text{C}(\text{O})\text{NR}^{10}\text{R}^{11}$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{C}(\text{O})\text{NR}^{10}\text{R}^{11}$, $-\text{C}(\text{R}^7)(\text{R}^8)\text{C}(\text{O})\text{N}(\text{R}^{11})(\text{CH}_2)_2\text{OR}^{11}$, or $-\text{C}(\text{R}^7)(\text{R}^8)\text{C}(\text{O})\text{N}(\text{R}^{11})(\text{CH}_2)_2\text{NHR}^{11}$;

where:

(1) R^5 is hydrogen or (C_{1-6}) alkyl;
 R^6 is hydrogen, (C_{1-6}) alkyl, cyano, $-\text{X}^2\text{NR}^{12}\text{R}^{12a}$, $-\text{X}^2\text{NR}^{12}\text{C}(\text{O})\text{R}^{12a}$, $-\text{X}^2\text{NR}^{12}\text{C}(\text{O})\text{OR}^{12a}$, $-\text{X}^2\text{NR}^{12}\text{C}(\text{O})\text{NR}^{12a}\text{R}^{12b}$, $-\text{X}^2\text{NR}^{12}\text{C}(\text{NR}^{12a})\text{NR}^{12b}\text{R}^{12c}$, $-\text{X}^2\text{OR}^{13}$, $-\text{X}^2\text{SR}^{13}$, $-\text{X}^2\text{C}(\text{O})\text{OR}^{12}$, $-\text{X}^2\text{C}(\text{O})\text{R}^{13}$, $-\text{X}^2\text{OC}(\text{O})\text{R}^{13}$, $-\text{X}^2\text{C}(\text{O})\text{NR}^{12}\text{R}^{12a}$, $-\text{X}^2\text{S}(\text{O})_2\text{NR}^{12}\text{R}^{12a}$, $-\text{X}^2\text{NR}^{12}\text{S}(\text{O})_2\text{R}^{13}$, $-\text{X}^2\text{P}(\text{O})(\text{OR}^{12})\text{OR}^{12a}$, $-\text{X}^2\text{OP}(\text{O})(\text{OR}^{12})\text{OR}^{12a}$, $-\text{X}^2\text{S}(\text{O})\text{R}^{14}$, $-\text{X}^2\text{S}(\text{O})_2\text{R}^{14}$, $-\text{R}^{15}$, $-\text{X}^2\text{OR}^{15}$, $-\text{X}^2\text{SR}^{15}$, $-\text{X}^2\text{S}(\text{O})\text{R}^{15}$, $-\text{X}^2\text{S}(\text{O})_2\text{R}^{15}$, $-\text{X}^2\text{C}(\text{O})\text{R}^{15}$, $-\text{X}^2\text{C}(\text{O})\text{OR}^{15}$, $-\text{X}^2\text{OC}(\text{O})\text{R}^{15}$, $-\text{X}^2\text{NR}^{15}\text{R}^{12}$, $-\text{X}^2\text{NR}^{12}\text{C}(\text{O})\text{R}^{15}$, $-\text{X}^2\text{NR}^{12}\text{C}(\text{O})\text{OR}^{15}$, $-\text{X}^2\text{C}(\text{O})\text{NR}^{15}\text{R}^{12}$, $-\text{X}^2\text{S}(\text{O})_2\text{NR}^{15}\text{R}^{12}$, $-\text{X}^2\text{NR}^{12}\text{S}(\text{O})_2\text{R}^{15}$, $-\text{X}^2\text{NR}^{12}\text{C}(\text{O})\text{NR}^{15}\text{R}^{12a}$ or $-\text{X}^2\text{NR}^{12}\text{C}(\text{NR}^{12a})\text{NR}^{15}\text{R}^{12}$ where X^2 is (C_{1-6}) alkylene; R^{12} , R^{12a} , R^{12b} and R^{12c} at each occurrence independently is hydrogen or (C_{1-6}) alkyl; R^{13} is hydrogen, (C_{1-6}) alkyl or halo-substituted (C_{1-6}) alkyl, R^{14} is (C_{1-6}) alkyl or halo-substituted (C_{1-6}) alkyl; and R^{15} is (C_{3-10}) cycloalkyl (C_{0-6}) alkyl, hetero (C_{3-10}) cycloalkyl (C_{0-3}) alkyl, (C_{6-10}) aryl (C_{0-6}) alkyl, hetero (C_{5-10}) aryl (C_{0-6}) alkyl, (C_{9-12}) bicycloaryl (C_{0-6}) alkyl or hetero (C_{8-12}) bicycloaryl (C_{0-6}) alkyl; or

(2) R^5 and R^6 taken together with the carbon atom to which both R^5 and R^6 are attached form (C_{3-8}) cycloalkylene or hetero (C_{3-8}) cycloalkylene wherein said cycloalkylene and heterocycloalkylene may be substituted further with 1 to 2 radicals independently selected

rest.



from (C₁₋₆)alkyl, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X³NR¹⁶R^{16a},
 -X³NR¹⁶C(O)R^{16a}, -X³NR¹⁶C(O)OR^{16a}, -X³NR¹⁶C(O)NR^{16a}R^{16b}, -X³NR¹⁶C(NR^{16a})NR^{16b}R^{16c},
 -X³OR¹⁷, -X³SR¹⁷, -X³C(O)OR¹⁶, -X³C(O)R¹⁷, -X³OC(O)R¹⁷, -X³C(O)NR¹⁶R^{16a},
 -X³S(O)₂NR¹⁶R^{16a}, -X³NR¹⁶S(O)₂R¹⁷, -X³P(O)(OR¹⁶)OR^{16a}, -X³OP(O)(OR¹⁶)OR^{16a},
 -X³S(O)R¹⁸ and -X³S(O)₂R¹⁸ where X³ is a bond or (C₁₋₆)alkylene; R¹⁶, R^{16a}, R^{16b}, and R^{16c} at
 each occurrence independently is hydrogen or (C₁₋₆)alkyl; R¹⁷ is hydrogen, (C₁₋₆)alkyl or
 halo-substituted(C₁₋₆)alkyl, and R¹⁸ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl;

R⁷ is hydrogen or (C₁₋₄)alkyl;

R⁸ is hydroxy; or

R⁷ and R⁸ together form oxo;

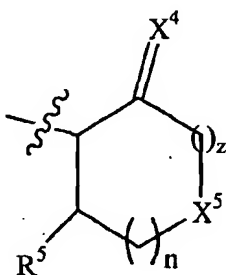
R⁹ is hydrogen, halo, (C₁₋₄)alkyl, (C₅₋₁₀)aryl(C₀₋₆)alkyl or hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl;

and

R¹⁰ is (C₁₋₄)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₄₋₁₀)aryl(C₀₋₆)alkyl,
 (C₄₋₁₀)cycloalkyl(C₀₋₆)alkyl or hetero(C₄₋₁₀)cycloalkyl(C₀₋₆)alkyl; and

R¹¹ is hydrogen or (C₁₋₆)alkyl; or

(ii) a group of formula (a):



(a)

where:

n is 0, 1, or 2;

z is 0 or 1;

X⁴ is selected from NR¹⁹, S, or O where R¹⁹ is hydrogen or (C₁₋₆)alkyl; and

X⁵ is -O-, -S-, -SO₂-, or -NR²⁰- where R²⁰ is selected from hydrogen, (C₁₋₆)alkyl,
 -X⁶C(O)OR²², -X⁶C(O)NR²²R^{22a}, -X⁶S(O)₂NR²²R^{22a}, -X⁶C(O)R²³, -X⁶S(O)₂R²⁴, -R²⁵,
 -X⁶C(O)OR²⁵, -X⁶C(O)NR²²R²⁵, -X⁶S(O)₂NR²²R²⁵, -X⁶C(O)R²⁵ and -X⁶S(O)₂R²⁵ in which X⁶
 is a bond or (C₁₋₆)alkylene; R²² and R^{22a} at each occurrence independently is hydrogen or

(C₁₋₆)alkyl; R²³ is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl, R²⁴ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl, and R²⁵ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₂)bicycloaryl(C₀₋₆)alkyl provided that when R⁵ is hydrogen, then both X⁴ and X⁵ are not -O-;

R⁵ is as defined above;

and furthermore within E any cycloalkyl, heterocycloalkyl, aryl or heteroaryl may be substituted with R^x selected from -R²⁶, -X⁷OR²⁶, -X⁷SR²⁶, -X⁷S(O)R²⁶, -X⁷S(O)₂R²⁶, -X⁷C(O)R²⁶, -X⁷C(O)OR²⁶, -X⁷OC(O)R²⁶, -X⁷NR²⁶R²⁷, -X⁷NR²⁷C(O)R²⁶, -X⁷NR²⁷C(O)OR²⁶, -X⁷C(O)NR²⁶R²⁷, -X⁷S(O)₂NR²⁶R²⁷, -X⁷NR²⁷S(O)₂R²⁶, -X⁷NR²⁷C(O)NR²⁶R^{27a} and -X⁷NR²⁷C(NR^{27a})NR²⁶R^{27b} and wherein E and R^x may be substituted further with 1 to 5 radicals independently selected from (C₁₋₆)alkyl, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁸NR²⁸R^{28a}, -X⁸NR²⁸C(O)R^{28a}, -X⁸NR²⁸C(O)OR^{28a}, -X⁸NR²⁸C(O)NR^{28a}R^{28b}, -X⁸NR²⁸C(NR^{28a})NR^{28b}R^{28c}, -X⁸OR²⁹, -X⁸SR²⁹, -X⁸C(O)OR²⁸, -X⁸C(O)R²⁹, -X⁸OC(O)R²⁹, -X⁸C(O)NR²⁸R^{28a}, -X⁸S(O)₂NR²⁸R^{28a}, -X⁸NR²⁸S(O)₂R²⁹, -X⁸P(O)(OR²⁸)OR^{28a}, -X⁸OP(O)(OR²⁸)OR^{28a}, -X⁸S(O)R³⁰ and -X⁸S(O)₂R³⁰ wherein X⁷ and X⁸ are independently a bond or (C₁₋₆)alkylene; R²⁶ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₂)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₂)bicycloaryl(C₀₋₆)alkyl, R²⁷, R^{27a}, R^{27b}, R²⁸, R^{28a}, R^{28b} and R^{28c} at each occurrence independently is hydrogen or (C₁₋₆)alkyl, R²⁹ is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl, and R³⁰ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl;

R¹ is (C₁₋₁₀)alkyl or -C(R³¹)(R³²)X⁹ wherein R³¹ and R³² are independently hydrogen or (C₁₋₆)alkyl and X⁹ is selected from -X¹⁰NR³³R^{33a}, -X¹⁰NR³³C(O)R^{33a}, -X¹⁰NR³³C(O)OR^{33a}, -X¹⁰NR³³C(O)NR^{33a}R^{33b}, -X¹⁰NR³³C(NR^{33a})NR^{33b}R^{33c}, -X¹⁰OR³³, -X¹⁰SR³³, -X¹⁰C(O)OR³³, -X¹⁰C(O)R³³, -X¹⁰OC(O)R³³, -X¹⁰C(O)NR³³R^{33a}, -X¹⁰S(O)₂NR³³R^{33a}, -X¹⁰NR³³S(O)₂R^{33a}, -X¹⁰P(O)(OR³³)OR^{33a}, -X¹⁰OP(O)(OR³³)OR^{33a}, -X¹⁰C(O)R³⁴, -X¹⁰NR³³C(O)R³⁴, -X¹⁰S(O)R³⁴, -X¹⁰S(O)₂R³⁴, -R³⁵, -X¹⁰OR³⁵, -X¹⁰SR³⁵, -X¹⁰S(O)R³⁵, -X¹⁰S(O)₂R³⁵, -X¹⁰C(O)R³⁵, -X¹⁰C(O)OR³⁵, -X¹⁰OC(O)R³⁵, -X¹⁰NR³³R³⁵, -X¹⁰NR³³C(O)R³⁵, -X¹⁰NR³³C(O)OR³⁵, -X¹⁰C(O)NR³³R³⁵, -X¹⁰S(O)₂NR³³R³⁵, -X¹⁰NR³³S(O)₂R³⁵, -X¹⁰NR³³C(O)NR^{33a}R³⁵ and -X¹⁰NR³³C(NR^{33a})NR^{33b}R³⁵ wherein X¹⁰ is a bond or (C₁₋₆)alkylene; R³³, R^{33a}, R^{33b}, and R^{33c} at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; R³⁴ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; and R³⁵ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl,

hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl;

wherein within R¹ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X¹¹NR³⁶R^{36a}, -X¹¹NR³⁶C(O)R^{36a}, -X¹¹NR³⁶C(O)OR^{36a}, -X¹¹NR³⁶C(O)NR^{36a}R^{36b}, -X¹¹NR³⁶C(NR^{36a})NR^{36b}R^{36c}, -X¹¹OR³⁶, -X¹¹SR³⁶, -X¹¹C(O)OR³⁶, -X¹¹C(O)R³⁶, -X¹¹OC(O)R³⁶, -X¹¹C(O)NR³⁶R^{36a}, -X¹¹S(O)₂NR³⁶R^{36a}, -X¹¹NR³⁶S(O)₂R^{36a}, -X¹¹P(O)(OR³⁶)OR^{36a}, -X¹¹OP(O)(OR³⁶)OR^{36a}, -X¹¹NR³⁶C(O)R³⁷, -X¹¹S(O)R³⁷, -X¹¹C(O)R³⁷ and -X¹¹S(O)₂R³⁷ and/or 1 radical selected from -R³⁸, -X¹²OR³⁸, -X¹²SR³⁸, -X¹²S(O)R³⁸, -X¹²S(O)₂R³⁸, -X¹²C(O)R³⁸, -X¹²C(O)OR³⁸, -X¹²OC(O)R³⁸, -X¹²NR³⁶R³⁸, -X¹²NR³⁶C(O)R³⁸, -X¹²NR³⁶C(O)OR³⁸, -X¹²C(O)NR³⁶R³⁸, -X¹²S(O)₂NR³⁶R³⁸, -X¹²NR³⁶S(O)₂R³⁸, -X¹²NR³⁶C(O)NR^{36a}R³⁸ and -X¹²NR³⁶C(NR^{36a})NR^{36b}R³⁸; and within R¹ any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR³⁹R^{39a}, -NR³⁹C(O)R^{39a}, -NR³⁹C(O)OR^{39a}, -NR³⁹C(O)NR^{39a}R^{39b}, -NR³⁹C(NR^{39a})NR^{39b}R^{39c}, -OR³⁹, -SR³⁹, -C(O)OR³⁹, -C(O)R³⁹, -OC(O)R³⁹, -C(O)NR³⁹R^{39a}, -S(O)₂NR³⁹R^{39a}, -NR³⁹S(O)₂R^{39a}, -P(O)(OR³⁹)OR^{39a}, -OP(O)(OR³⁹)OR^{39a}, -NR³⁹C(O)R⁴⁰, -S(O)R⁴⁰ and -S(O)₂R⁴⁰; wherein X¹¹ and X¹² are independently a bond or (C₁₋₆)alkylene; R³⁶, R^{36a}, R^{36b}, R^{36c}, R³⁹, R^{39a}, R^{39b} and R^{39c} at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; R³⁷ and R⁴⁰ are independently (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; and R³⁸ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl, provided that only one (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl ring structure is present within R¹;

R^{1a} is hydrogen or (C₁₋₆)alkyl; or

R¹ and R^{1a} together with the carbon atoms to which they are attached form (C₃₋₈)cycloalkylene or hetero(C₃₋₁₀)cycloalkylene ring wherein said cycloalkylene ring is optionally substituted with one or two substituents independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkoxy, hydroxy, halo, hydroxyalkyl, or keto and said heterocycloalkylene ring is optionally substituted with one or two substituents independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkoxy, hydroxyalkyl, alkoxyalkyl, aminoalkyl, acyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl wherein

said aryl, heteroaryl, and heterocycloalkyl are optionally substituted with one, two, or three substituents independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkoxy, nitro, amino, halo, hydroxy, alkylthio, halo-substituted alkyl, halo-substituted alkoxy, acyl, -OC(O)R³⁹, -C(O)NR³⁹R^{39a}, -S(O)₂NR³⁹R^{39a}, -S(O)₂R³⁸ or -S(O)₂R⁴⁰ where R³⁸, R³⁹, R^{39a}, and R⁴⁰ are as defined above;

R² is hydrogen, hydroxy, or (C₁₋₆)alkyl;

R³ is hydrogen, (C₁₋₆)alkyl, (C₁₋₆)alkoxy, aryloxy, (C₃₋₈)cycloalkyl, (C₃₋₈)cycloalkyloxy, aryl, benzyl, tetrahydronaphthyl, indenyl, indanyl, (C₁₋₆)alkylsulfonyl(C₁₋₆)alkyl, (C₃₋₈)cycloalkylsulfonyl(C₁₋₆)alkyl, arylsulfonyl(C₁₋₆)alkyl, heterocyclic ring selected from azepanyl, azocanyl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, pyranyl, tetrahydropyranyl, tetrahydrothiopyranyl, thiopyranyl, furanyl, tetrahydrofuranlyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, tetrazolyl, pyrazolyl, indolyl, benzofuranlyl, benzothienyl, benzimidazolyl, benzthiazolyl, benzisoxazolyl, quinolinyl, tetrahydroquinolinyl, isoquinolinyl, tetrahydroisoquinolinyl, quinazolinyl, tetrahydroquinazolinyl, benzoxazolyl or quinoxalinyl, -OR where R is a heterocyclic moiety selected from those herein described in this paragraph, or amino; wherein R³ is optionally substituted by one, two, or three R^a;

each R^a is independently (C₁₋₆)alkyl, (C₃₋₈)cycloalkyl, aryl, tetrahydronaphthyl, indenyl, indanyl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, triazolyl, tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, benzofuranlyl, benzothienyl, benzimidazolyl, benzthiazolyl, benzoxazolyl, benzisoxazolyl, quinolinyl, isoquinolinyl, quinazolinyl, quinoxalinyl, (C₁₋₆)alkoxy, (C₁₋₆)haloalkoxy, (C₁₋₆)alkanoyl, (C₁₋₆)alkanoyloxy, aryloxy, benzyloxy, (C₁₋₆)alkoxycarbonyl, aryloxycarbonyl, aroyloxy, carbamoyl wherein the nitrogen atom may be independently mono or di-substituted by (C₁₋₆)alkyl, aryl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, triazolyl, tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, benzofuranlyl, benzothienyl, benzimidazolyl, benzthiazolyl, quinolinyl, isoquinolinyl, quinazolinyl or quinoxalinyl, or

each R^a is independently (C₁₋₆)alkanoylamino, aroylamino, (C₁₋₆)alkylthio wherein the sulfur atom may be oxidized to a sulfoxide or sulfone, arylthio wherein the sulfur atom may be oxidized to a sulfoxide or sulfone, ureido wherein either nitrogen atom may be

independently substituted by (C₁₋₆)alkyl, aryl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, triazolyl, tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, benzofuranyl, benzothienyl, benzimidazolyl, benzthiazolyl, quinolinyl, isoquinolinyl, quinazolinyl or quinoxalinyl, or

each R^a is independently (C₁₋₆)alkoxycarbonylamino, aryloxycarbonylamino, (C₁₋₆)alkylcarbamoxyloxy, arylcarbamoxyloxy, (C₁₋₆)alkylsulfonylamino, arylsulfonylamino, aminosulfonyl, (C₁₋₆)alkylaminosulfonyl, di-(C₁₋₆)alkylaminosulfonyl, arylaminosulfonyl, amino wherein the nitrogen atom may be independently mono or di-substituted by (C₁₋₆)alkyl, aryl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, triazolyl, tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, benzofuranyl, benzothienyl, benzimidazolyl, benzthiazolyl, quinolinyl, isoquinolinyl, quinazolinyl or quinoxalinyl, or

each R^a is independently halogen, hydroxy, (C₁₋₆)alkoxy, (C₁₋₆)haloalkyl, (C₁₋₆)haloalkoxy, oxo, carboxy, cyano, nitro, carboxamide, amidino or guanidino, R^a is may be further optionally substituted by one, two, or three R^b;

each R^b is independently (C₁₋₆)alkyl optionally partially or fully halogenated wherein one or more carbon atoms are optionally replaced by O, N, S(O), S(O)₂ or S and wherein said alkyl is optionally independently substituted with 1-2 oxo groups, -NH₂, or one or more C₁₋₄alkyl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, triazolyl, tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, benzofuranyl, benzothienyl, benzimidazolyl, benzthiazolyl, quinolinyl, isoquinolinyl, quinazolinyl, or quinoxalinyl; or

each R^b is independently (C₃₋₆)cycloalkyl, aryl, aryloxy, benzyloxy, halogen, hydroxy, (C₁₋₆)alkyl, (C₁₋₆)alkoxy, (C₁₋₆)haloalkyl, (C₁₋₆)haloalkoxy, aminosulfonyl, (C₁₋₆)alkylaminosulfonyl, di-(C₁₋₆)alkylaminosulfonyl, arylaminosulfonyl, oxo, carboxy, cyano, nitro, mono-C₁₋₅alkylamino, di-(C₁₋₅)alkylamino, carboxamide, amidino or guanidino;

R⁴ is hydrogen, hydroxy, nitrile, or a (C₁₋₆)alkyl optionally partially or fully halogenated wherein one or more C atoms are optionally replaced by O, NH, S(O), S(O)₂ or S and wherein said alkyl chain is optionally independently substituted with 1-2 oxo groups, -NH₂, one or more C₁₋₄ alkyl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, pyranal, thiopyranal, furanyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, benzofuranyl, benzothienyl,

benzimidazolyl, benzthiazolyl, quinolinyl, isoquinolinyl, quinazolinyl, benzoxazolyl or quinoxalinyl; or

R³ and R⁴ together with the atoms to which they are attached form a heterocycloalkyl ring or a heterocyclic ring fused to an aryl or heteroaryl ring provided that the heterocycloalkyl rings contain at least an -SO₂- group, wherein said heterocycloalkyl rings may be optionally substituted on the aromatic and/or non-aromatic portion of the rings with one, two, or three R^c;

each R^c and R^{4a} is independently:

hydrogen, (C₁₋₆)alkyl optionally interrupted by one or two N, O, S, S(O), or S(O)₂ and optionally substituted by 1-2 oxo, amino, hydroxy, halogen, C₁₋₄alkyl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, pyranyl, thiopyranyl, furanyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, indolyl, benzofuranyl, benzothienyl, benzimidazolyl, benzthiazolyl, quinolinyl, isoquinolinyl, quinazolinyl, benzoxazolyl or quinoxalinyl;

halo, alkoxy, alkylthio, hydroxy, carboxy, aryl, aryloxy, aroyl, heteroaryl, (C₁₋₆)alkanoyl, -C(O)OR^d where (R^d is hydrogen, (C₁₋₆)alkyl, (C₁₋₆)alkoxyalkyl, (C₁₋₆)haloalkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₆)alkyl, aryl, or aryl(C₁₋₆)alkyl), (C₁₋₆)alkylsulfonyl, aryloxycarbonyl, benzyloxycarbonyl, (C₁₋₆)alkanoylamino, aroylamino, C₁₋₅ alkylthio, arylthio, (C₁₋₆)alkylsulfonylamino, arylsulfonylamino, (C₁₋₆)alkylamino-sulfonyl, arylaminosulfonyl, (C₃₋₆)cycloalkyl and benzyloxy wherein each of the aforementioned group is optionally substituted with halogen, hydroxy, (C₁₋₆)alkyl, (C₁₋₆)alkoxy, (C₁₋₆)haloalkyl, (C₁₋₆)haloalkoxy, oxo, carboxy, nitrile, nitro or NH₂C(O)-; or a pharmaceutically acceptable salts thereof provided that there are no more than 5 ring systems in a compound of Formula (Ia) or (Ib).

2. The compound of Claim 1 wherein:

R³ is (C₁₋₆)alkyl, (C₁₋₆)alkoxy, aryloxy, (C₃₋₈)cycloalkyl, (C₃₋₈)cycloalkyloxy, aryl, benzyl, tetrahydronaphthyl, indenyl, indanyl, (C₁₋₆)alkylsulfonyl(C₁₋₆)alkyl, (C₃₋₈)cycloalkylsulfonyl(C₁₋₆)alkyl, arylsulfonyl(C₁₋₆)alkyl, heterocyclic ring selected from azepanyl, azocanyl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, indolinyl, pyranyl, tetrahydropyranyl, tetrahydrothiopyranyl, thiopyranyl, furanyl, tetrahydrofuranyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, tetrazolyl, pyrazolyl, indolyl, benzofuranyl, benzothienyl,

Access/DB# 163027

RESEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Deborah Lambkin Examiner #: 71300 Date: 8/18/05
Art Unit: 1626 Phone Number: 302-6698 Serial Number: 10/603,437
Mail Box and Bldg/Room Location: REM 5309 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

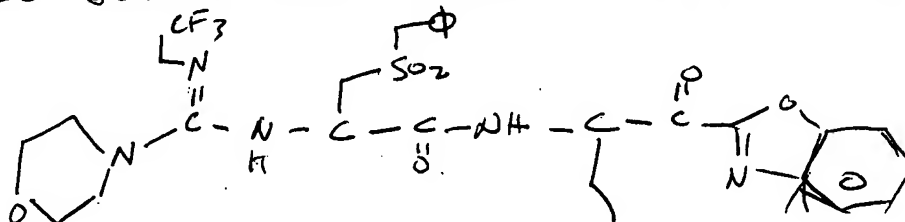
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Title of Invention: Peptidic Compounds As Cysteine Protease Inhibitors
Inventors (please provide full names): Michael Graupe et al

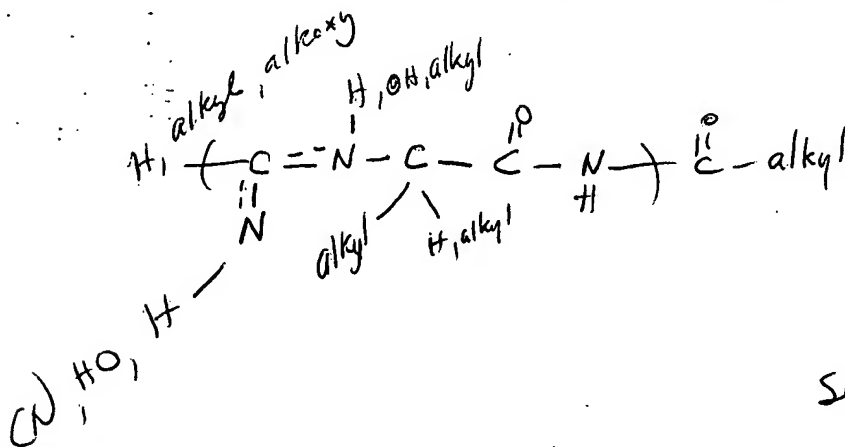
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For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search the attached species. ex. 4.



and the subgenus of Cl. 4



(note this is only a narrow subgenus of Cl. 4)
genetic too broad.

see ex. 4 + Cl. 4 attached.

Thank you